NEWS LOGIN

NEWS IPC8

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Welcome to STN International! Enter x:x

```
LOGINID:ssspta1202txn
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
                      Welcome to STN International
NEWS 1
                  Web Page for STN Seminar Schedule - N. America
NEWS 2 MAY 01 New CAS web site launched
NEWS 3 MAY 08 CA/CAplus Indian patent publication number format defined
NEWS 4 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
NEWS 5 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 6 MAY 21
                  TOXCENTER enhanced with BIOSIS reload
NEWS
      7 MAY 21
                  CA/CAplus enhanced with additional kind codes for German
                  patents
NEWS 8 MAY 22 CA/CAplus enhanced with IPC reclassification in Japanese
                  patents
NEWS 9
          JUN 27
                  CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 10
         JUN 29
                  STN Viewer now available
NEWS 11
          JUN 29
                  STN Express, Version 8.2, now available
NEWS 12 JUL 02
                  LEMBASE coverage updated
NEWS 13 JUL 02 LMEDLINE coverage updated
NEWS 14 JUL 02
                  SCISEARCH enhanced with complete author names
NEWS 15
          JUL 02
                  CHEMCATS accession numbers revised
NEWS 16 JUL 02
                  CA/CAplus enhanced with utility model patents from China
NEWS 17 JUL 16
NEWS 18 JUL 18
                  CAplus enhanced with French and German abstracts
                  CA/CAplus patent coverage enhanced
NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 20 \, JUL 30 \, USGENE now available on STN \, NEWS 21 \, AUG 06 \, CAS REGISTRY enhanced with new experimental property tags
NEWS 22 AUG 06 BEILSTEIN updated with new compounds NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition
NEWS 24 AUG 13 CA/CAplus enhanced with additional kind codes for granted
                  patents
                  CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 25 AUG 20
                  Full-text patent databases enhanced with predefined
NEWS 26 AUG 27
                  patent family display formats from INPADOCDB
                  USPATOLD now available on STN
NEWS 27 AUG 27
                  CAS REGISTRY enhanced with additional experimental
 NEWS 28 AUG 28
                  spectral property data
NEWS EXPRESS
               29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.
 NEWS HOURS
               STN Operating Hours Plus Help Desk Availability
```

Enter NEWS followed by the item number or name to see news on that specific topic.

For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 14:44:30 ON 28 AUG 2007

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES: 27 AUG 2007 HIGHEST RN 945649-99-0 DICTIONARY FILE UPDATES: 27 AUG 2007 HIGHEST RN 945649-99-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\09895975.str

chain nodes :

10

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16

chain bonds : 4-10 5-11 ring bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 11-12 11-16 12-13 13-14 14-15 15-16

exact/norm bonds :

1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-10 5-6 7-8 8-9

exact bonds :

5-11

normalized bonds :

11-12 11-16 12-13 13-14 14-15 15-16

isolated ring systems :
containing 1 : 11 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

50 ANSWERS

=> s 11

SAMPLE SEARCH INITIATED 14:45:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 292 TO ITERATE

100.0% PROCESSED 292 ITERATIONS

. INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4815 TO 6865

PROJECTED ANSWERS: 1986 TO 3374

L2 50 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 14:45:29 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 5833 TO ITERATE

100.0% PROCESSED 5833 ITERATIONS 2632 ANSWERS

SEARCH TIME: 00.00.01

L3 2632 SEA SSS FUL L1

=> file zcaplus
COST IN U.S. DOLLARS

ENTRY SESSION 172.10 172.31

TOTAL

SINCE FILE

FULL ESTIMATED COST

FILE 'ZCAPLUS' ENTERED AT 14:45:44 ON 28 AUG 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 28 Aug 2007 VOL 147 ISS 10 FILE LAST UPDATED: 27 Aug 2007 (20070827/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 99 L3

=> L4 and (tumor? or cancer? or hyperprolifer? or neoplas?)
L4 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s L4 and (tumor? or cancer? or hyperprolifer? or neoplas?)
 481852 TUMOR?

343513 CANCER?

3132 HYPERPROLIFER?

507305 NEOPLAS?

L5 7 L4 AND (TUMOR? OR CANCER? OR HYPERPROLIFER? OR NEOPLAS?)

=> d his

(FILE 'HOME' ENTERED AT 14:44:30 ON 28 AUG 2007)

FILE 'REGISTRY' ENTERED AT 14:44:57 ON 28 AUG 2007

L1 STRUCTURE UPLOADED

L2 50 S L1

L3 2632 S L1 FUL

FILE 'ZCAPLUS' ENTERED AT 14:45:44 ON 28 AUG 2007

L4 99 S L3

L5 7 S L4 AND (TUMOR? OR CANCER? OR HYPERPROLIFER? OR NEOPLAS?)

=> d 15 1- ibib abs hitstr YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y L5 ANSWER 1 OF 7 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:728632 ZCAPLUS

DOCUMENT NUMBER: 147:143451

TITLE: Preparation of dimers and adducts of

phenyltriazolopyrimidines as anticancer agents

INVENTOR(S): Wu, Yanzhong; Blum, David M.; Beyer, Carl

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 63pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KIND		DATE		APPLICATION NO.					DATE			
WO	WO 2007075465				A1		20070705		WO 2006-US48013				20061215				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
							HR,										
		KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
							NA,								-		
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	sv,	SY,	ТJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	ŪG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW	-	-	-	•	•	·
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
							MC,										
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
							NA,								-	-	-
					RU,				-	-		·	•	·	•	•	•
PRIORIT	PRIORITY APPLN. INFO.:				•	•				US 2	005-	7511	66P		P 2	0051	216
OTHER SOURCE(S):				MARPAT 147:143451													

AB Title compds. [I; R1 = NHCHR3R5, (substituted) cycloalkyl; n = 2-4; X = C1, F, Br; Y = O, S, CH2, NR4; L1, L2 = H, F, C1, Br, CF3; R3 = CF3, C2F5; R4, R5 = H, alkyl; R7 = ZR9; Z = CO, NO, SO2, PO2H; R9 = H, OH, (substituted) alkyl, alkenyl], and dimers thereof, were prepared Thus,

5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine and succinic anhydride were stirred together in THF for 1 h to give 92% title compound (II). II showed cytotoxicity to COLO 205 cells with IC50 = 1.9 μ M.

IT 943515-64-8P 943515-65-9P 943515-66-0P 943515-67-1P 943515-68-2P 943515-69-3P 943515-70-6P 943515-71-7P 943515-72-8P 943515-73-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of dimers and adducts of phenyltriazolopyrimidines as anticancer agents)

RN 943515-64-8 ZCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

HO₂C
$$(CH_2)_3$$
 O F HN S CF_3 N N

RN 943515-65-9 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Double bond geometry as shown.

RN 943515-66-0 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 943515-67-1 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 943515-68-2 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c|c} Me & \\ \hline \\ CH_2)_3 \\ \hline \\ CI \\ \end{array}$$

RN 943515-69-3 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

$$\begin{array}{c|c} & \text{Me} & & \text{Me} \\ & & & \\$$

RN 943515-70-6 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{O} \\ \text{O} \\ \text{CH}_2)_{3} \\ \text{O} \\ \text{F} \\ \text{C1} \\ \text{N} \\$$

RN 943515-71-7 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 943515-72-8 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

RN 943515-73-9 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 849550-05-6

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of dimers and adducts of phenyltriazolopyrimidines as
 anticancer agents)

RN 849550-05-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 2 OF 7 ZCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
                        2007:701188 ZCAPLUS
DOCUMENT NUMBER:
                        147:102187
TITLE:
                        Lyophilized compositions of a triazolopyrimidine
                         compound
                         Ku, M. Sherry; Huang, W. James
INVENTOR(S):
PATENT ASSIGNEE(S):
                        Wyeth, John, and Brother Ltd., USA
                        U.S. Pat. Appl. Publ., 10pp.
SOURCE:
                        CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                       KIND DATE
                                          APPLICATION NO.
                                                                 DATE
                        ----
                                           ______
                     A1
                               20070628
                                         US 2006-639642
WO 2006-US47977
    US 2007149552
    WO 2007075452
                        A2 20070705
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
            KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
            MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
            TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
        RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                           US 2005-751131P
                                                             P 20051216
OTHER SOURCE(S):
                        MARPAT 147:102187
     The present invention relates to lyophilized compns. of a
     triazolopyrimidine compound, or a hydrate thereof, solns. useful in preparing
     the lyophilized compns.; methods for preparing such compns.; methods of
     reconstituting the same; kits containing such compns.; and uses of the compns.
     for the treatment of cancer. A 5-mg strength vial was
     lyophilized from a 2 mg/mL bulk solution using the dihydrate succinate salt
     of a triazolopyrimidine compound Since the concentration of the active
ingredient
     alone was not adequate to produce a strong lyophile cake, mannitol at 40
     mg/mL was utilized as a bulking agent and the bulk solution pH was about 4.9.
IΤ
     849550-05-6 849550-66-9 849550-68-1
     942273-47-4 942273-48-5 942273-49-6
     942273-50-9 942273-51-0 942273-52-1
     942273-53-2 942273-54-3 942273-55-4
     942273-56-5 942273-57-6
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (lyophilized compns. of triazolopyrimidine compound)
RN
     849550-05-6 ZCAPLUS
CN
     [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-
     (methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA
     INDEX NAME)
```

RN 849550-66-9 ZCAPLUS

CN Butanedioic acid, compd. with 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine (9CI) (CA INDEX NAME)

CM 1

CRN 849550-05-6

CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

RN 849550-68-1 ZCAPLUS

CN Butanedioic acid, compd. with 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine, hydrate (1:?:?) (CA INDEX NAME)

CM 1

CRN 849550-05-6

CMF C18 H18 C1 F5 N6 O

CM 2

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

RN 942273-47-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 849550-05-6

CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 942273-48-5 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED CM 1

CRN 849550-05-6

CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 942273-49-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, (2Z)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 942273-50-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 849550-05-6

CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 942273-51-0 ZCAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 849550-05-6

CMF C18 H18 C1 F5 N6 O

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 942273-52-1 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 77-92-9 CMF C6 H8 O7

$$\begin{array}{c} \text{CO}_2\text{H} \\ | \\ \text{HO}_2\text{C}-\text{CH}_2-\text{C}-\text{CH}_2-\text{CO}_2\text{H}} \\ | \\ \text{OH} \end{array}$$

RN 942273-53-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 98-11-3 CMF C6 H6 O3 S

RN 942273-54-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, compd. with L-Aspartic acid (1:?) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

CM 2

CRN 56-84-8 CMF C4 H7 N O4

Absolute stereochemistry. Rotation (+).

RN 942273-55-4 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 611-71-2 CMF C8 H8 O3

Absolute stereochemistry. Rotation (-).

RN 942273-56-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 942273-57-6 ZCAPLUS CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

CM 2

57-10-3 CRN CMF C16 H32 O2

 $HO_2C-(CH_2)_{14}-Me$

L5 ANSWER 3 OF 7 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2007:287127 ZCAPLUS

DOCUMENT NUMBER:

146:323549

TITLE:

Preparation of crystalline forms of

5-chloro-6-{2,6-difluoro-4-[3-

(methylamino)propoxy]phenyl}-N-[(1S)-2,2,2-trifluoro-1methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine

salts

INVENTOR(S):

Qi, Fang Fang; Ku, M. Sherry; Wu, Yanzhong; Blum,

David M.

PATENT ASSIGNEE(S):

Wyeth, John, and Brother Ltd., USA

SOURCE:

U.S. Pat. Appl. Publ., 19pp., Cont.-in-part of U.S.

APPLICATION NO.

DATE

Ser. No. 950,543.

DATE

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

KIND

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

	US 2007060597	A1	20070315	US 2006-437291	20060519						
	US 2005090508	A1	20050428	US 2004-950543	20040924						
PRIO	RITY APPLN. INFO.:			US 2003-505544P	P 20030924						
				US 2004-950543	A2 20040924						
AB	P										
	$4-[3-(methylamino)propoxy]phenyl}-N-[(1S)-2,2,2-trifluoro-1-$										
	methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine salts; processes for										
	the production thereof; pharmaceutical compns. thereof; and methods for										
	inhibiting tumor gre	owth.	Thus, the t	riazolo[1,5-a]pyrimio	din-7-						
	amine derivative wa	te dihydrate salt.									
IT	928642-93-7P 928642-95-9P 928642-96-0P										
	928642-97-1P 928642-98-2P 928642-99-3P										
	RL: PRP (Properties); SPN	(Synthetic	preparation); THU (T	herapeutic use);						
	BIOL (Biological st				-						
		_	_								

(preparation of crystalline forms of chloro (difluoromethylaminopropoxy) phenyltrif luoromethylethyltriazolopyrimidinamine salts)

RN 928642-93-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)-, (2E)-2-butenedioate (1:?) (CA INDEX NAME)

CM 1

CRN 249648-16-6 CMF C14 H8 C1 F6 N5

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 928642-95-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)-, (2E)-2-butenedioate, hydrate (1:?:2) (CA INDEX NAME)

CM 1

CRN 249648-16-6 CMF C14 H8 C1 F6 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$HO_2C$$
 E CO_2H

RN 928642-96-0 ZCAPLUS

CN Butanedioic acid, compd. with 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine (1:?) (CA INDEX NAME)

CM 1

CRN 249648-16-6 CMF C14 H8 C1 F6 N5

Absolute stereochemistry.

CM 2

CRN 110-15-6 CMF C4 H6 O4

но2С-сн2-сн2-со2н

RN 928642-97-1 ZCAPLUS

CN Butanedioic acid, compd. with 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine, hydrate (1:?:?) (CA INDEX NAME)

CM 1

CRN 249648-16-6 CMF C14 H8 C1 F6 N5

CM 2

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

RN 928642-98-2 ZCAPLUS

CN Benzeneacetic acid, α -hydroxy-, compd. with 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine (1:?) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 90-64-2 CMF C8 H8 O3

RN 928642-99-3 ZCAPLUS

CN Benzeneacetic acid, α -hydroxy-, compd. with 5-chloro-6-[2,6-difluoro-

4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine, hydrate (1:?:?) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 90-64-2 CMF C8 H8 O3

IT 249648-16-6

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

IT 849550-05-6P 928642-92-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of crystalline forms of chloro(difluoromethylaminopropoxy)phenyltrif

luoromethylethyltriazolopyrimidinamine salts)

RN 849550-05-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 928642-92-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

L5 ANSWER 4 OF 7 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1331991 ZCAPLUS

DOCUMENT NUMBER: 146:251802

TITLE: Synthesis and SAR of [1,2,4]Triazolo[1,5-

a]pyrimidines, a Class of Anticancer Agents with a

Unique Mechanism of Tubulin Inhibition

AUTHOR(S): Zhang, Nan; Ayral-Kaloustian, Semiramis; Nguyen, Thai;

Afragola, Jay; Hernandez, Richard; Lucas, Judy;

Gibbons, James; Beyer, Carl

CORPORATE SOURCE: Chemical and Screening Sciences, and Discovery

Oncology, Wyeth Research, Pearl River, NY, 10965, USA Journal of Medicinal Chemistry (2007), 50(2), 319-327

SOURCE: Journal of Medicinal Chemistry CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: OTHER SOURCE(S): English CASREACT 146:251802

GT

AΒ A series of [1,2,4] triazolo[1,5-a] pyrimidines I [R1 = C1, Br; R2, R3 = H,Me; R4 = H, F, Me $2\dot{N}$ (CH2)3S, HO(CH2)4O, Me $2\dot{N}$ (CH2)3NH, etc.; R5 = H, F, Me2N(CH2)30; R6 = H, F] was synthesized via aromatic nucleophilic substitution reactions using alcs., thiols or amines as nucleophiles, and the structure-activity relationship of the products as anticancer agents was evaluated. (1S)-2,2,2-Trifluoro-1-methylethylamino group or an achiral 2,2,2-trifluoroethylamino group is required at the 5-position to achieve high potency. On the Ph ring, both fluoro atoms, at the positions ortho to the triazolopyrimidine core, are needed for optimal activity. At the position para to the triazolopyrimidine core, on the Ph ring, the best activity is achieved with an oxygen linkage followed by a three-methylene unit, and an alkylamino or a hydroxy group. The mechanism of action for this series of triazolopyrimidines was shown to be unique in that they promoted tubulin polymerization in vitro, but did not bind competitively with paclitaxel. Instead, these compds. inhibit the binding of vincas to tubulin. Selected compds. were studied further, and it was shown that these compds. were able to overcome resistance attributed to several multidrug resistance transporter proteins. Lead compds. were shown to inhibit tumor growth in several nude mouse xenograft models, with high potency and efficacy, when dosed either orally or i.v. IT

IT 214633-89-3P 249648-16-6P 388060-93-3P 691010-93-2P 849550-72-7P 849550-78-3P

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and SAR of [1,2,4]triazolo[1,5-a]pyrimidines as anticancer agents with a unique mechanism of tubulin inhibition)

RN 214633-89-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

RN 249648-16-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 388060-93-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 691010-93-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-difluorophenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-72-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

RN 849550-78-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,3,6-trifluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

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849550-08-9P 849550-11-4P 849550-12-5P
IT
     849550-13-6P 849550-15-8P 849550-16-9P
     849550-18-1P 849550-19-2P 849550-20-5P
     849550-21-6P 849550-22-7P 849550-23-8P
     849550-24-9P 849550-25-0P 849550-26-1P
     849550-28-3P 849550-29-4P 849550-30-7P
     849550-31-8P 849550-32-9P 849550-36-3P
     925902-82-5P 925902-84-7P 925902-87-0P
     925902-89-2P 925902-94-9P 925902-99-4P
     925903-01-1P 925903-09-9P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
     (Biological study); PREP (Preparation)
        (synthesis and SAR of [1,2,4]triazolo[1,5-a]pyrimidines as anticancer
        agents with a unique mechanism of tubulin inhibition)
RN
     849550-08-9 ZCAPLUS
     [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[4-(3-aminopropoxy)-2,6-
CN
     difluorophenyl]-5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA
     INDEX NAME)
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RN 849550-11-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(ethylmethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-12-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(1-pyrrolidinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-13-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(1-piperidinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-15-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[4-[3-(1-azetidinyl)propoxy]-2,6-difluorophenyl]-5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-16-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2-fluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-18-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-19-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-20-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[2-(4-morpholinyl)ethoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-21-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[[3-(dimethylamino)propyl]thio]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-22-7 ZCAPLUS

CN Ethanol, 2-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-23-8 ZCAPLUS

CN 1-Propanol, 3-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-24-9 ZCAPLUS

CN 1-Butanol, 4-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

RN 849550-25-0 ZCAPLUS

CN 1,3-Propanediamine, N1-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N1,N3,N3-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-26-1 ZCAPLUS

CN 1,3-Propanediamine, N3-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N1,N1-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

Me₂N
$$(CH2)3 $\stackrel{H}{\underset{F}{\bigvee}}$ $\stackrel{Me}{\underset{F}{\bigvee}}$ $\stackrel{Me}{\underset{N}{\bigvee}}$ $\stackrel{N}{\underset{N}{\bigvee}}$ $\stackrel{N}{\underset{N}{\bigvee}}$$$

RN 849550-28-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-29-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[4-(dimethylamino)butyl]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-30-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-31-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-32-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-36-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 925902-82-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

RN 925902-84-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-(2,2,2-trifluoroethyl)-, hydrochloride (5:7) (CA INDEX NAME)

●7/5 HCl

RN 925902-87-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

●2 HCl

RN 925902-89-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-

(dimethylamino)propoxy]-2,6-difluorophenyl]-N-(2,2,2-trifluoroethyl)-,
hydrochloride (1:2) (CA INDEX NAME)

●2 HCl

RN 925902-94-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(4-morpholinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

RN 925902-99-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-(3-ethoxypropoxy)-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 925903-01-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-(4-butoxy-2,6-difluorophenyl)-5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 925903-09-9 ZCAPLUS

CN 1-Pentanol, 5-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

IT 849550-05-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and SAR of [1,2,4]triazolo[1,5-a]pyrimidines as anticancer agents with a unique mechanism of tubulin inhibition)

RN 849550-05-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:300451 ZCAPLUS

DOCUMENT NUMBER: 142:373861

TITLE: Preparation of 6-[(substituted)phenyl]triazolopyrimidi

nes as tubulin polymerization promoters as anticancer

agents for tumors that express multiple drug resistance (MDR) or are resistant because of MDR

Zhang, Nan; Ayral-Kaloustian, Semiramis; Nguyen, Thai INVENTOR(S):

Hiep; Wu, Yanzhong; Tong, Wei

PATENT ASSIGNEE(S): Wyeth Holdings Corp., USA SOURCE: PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	rent :	NO.			KIN	D DATE APPLICATION NO.									DATE				
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OTHER SOURCE(S):

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AB This invention relates to certain 6-[(substituted)phenyl]triazolopyrimidin e compds. (shown as I; variables defined below; e.g. II) or pharmaceutically acceptable salts thereof, and compns. containing said compds. or pharmaceutically acceptable salts thereof, wherein said compds. are anti-cancer agents useful for the treatment of cancer in mammals. This invention further relates to a method of treating or inhibiting the growth of cancerous tumor cells and associated diseases in a mammal and further provides a method for the treatment or prevention of cancerous tumors that express multiple drug resistance (MDR) or are resistant because of MDR, in a mammal in need thereof which method comprises administering to said mammal an effective amount of said compds. or pharmaceutically acceptable salts thereof. The present invention relates to a method of treating or inhibiting the growth of cancerous tumor cells and associated diseases in a mammal in need thereof by promotion of microtubule polymerization which comprises administering to said mammal an effective amount of

said compds. and pharmaceutically acceptable salts thereof. Strong evidence is presented that these compds. bind at the vinca/peptide site of tubulin and not at the colchicine or taxane sites. Methods of preparation are claimed and .apprx.20 example prepns. are included. For example, II which was prepared in 2 steps starting with reaction of 5,7-dichloro-6-(2,4,6trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidine, ((1S)-2,2,2-trifluoro-1methylethyl)amine hydrochloride and N,N-diisopropylethylamine to give 5-Chloro-6-(2,4,6-trifluorophenyl)-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine, which was reacted with 3-dimethylamino-1-propanol/NaH. For I: R1 = R3R5CHNH and C6-C8 cycloalkyl (un) substituted with R8; R2 = Q(CH2)nY-, 2-L1- and 6-L2-substituted phenyl; n = 2-4; X = Cl or Br; Y = O, S, CH2 or NR4; Q = -NR6R7 and -OH; L1 and L2 = H, F, C1, Br, or CF3; R3 = CF3 or C2F5; R4 and R5 = H or C1-3 alkyl; R6 and R7 = H or C1-C3 alkyl; or R6 and R7 when optionally taken together with the N atom to which each is attached form a 4 to 6 membered saturated heterocyclic ring with 1-2 N atoms and 0-1 O atoms or 0-1 S atoms, and (un) substituted with R8; R8 = C1-C3 alkyl. 849550-66-9P 849550-67-0P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); PROC (Process); USES (Uses)
 (drug candidate, hydration, powder XRD; preparation of 6 [(substituted)phenyl]triazolopyrimidines as tubulin polymerization promoters
 as anticancer agents for tumors expressing multiple drug
 resistance (MDR) or resistance because of MDR)

RN 849550-66-9 ZCAPLUS
CN Butanedioic acid, compd. with 5-chloro-6-[2,6-difluoro-4-[3 (methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1 methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine (9CI) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 110-15-6 CMF C4 H6 O4

но2С-сн2-сн2-со2н

RN 849550-67-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

IT 849550-68-1P 849550-69-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate, powder XRD; preparation of 6-[(substituted)phenyl]triazolop yrimidines as tubulin polymerization promoters as anticancer agents for tumors expressing multiple drug resistance (MDR) or resistance because of MDR)

RN 849550-68-1 ZCAPLUS

CN Butanedioic acid, compd. with 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine, hydrate (1:?:?) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

RN 849550-69-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, (2E)-2-butenedioate (1:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 849550-05-6 CMF C18 H18 C1 F5 N6 O

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$HO_2C$$
 E
 CO_2H

849550-04-5P, 5-Chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-IT difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5a]pyrimidin-7-amine 849550-05-6P, 5-Chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-36-3P, 5-Chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-((1R)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-65-8P, 5-Chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine hydrochloride RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of 6-[(substituted)phenyl]triazolopyrimidines as tubulin polymerization promoters as anticancer agents for tumors expressing multiple drug resistance (MDR) or resistance because of MDR) RN 849550-04-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-

(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-05-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-36-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-65-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

ΙT 849550-06-7P, 5-Chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[1,5a]pyrimidin-7-amine 849550-07-8P, 5-Chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-08-9P, 6-[4-(3-Aminopropoxy)-2,6-difluorophenyl]-5-chloro-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-09-0P, 5-Chloro-6-[2,6-difluoro-4-[3-(4-methylpiperazin-1yl)propoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-10-3P, 5-Chloro-6-[4-[3-(ethylamino)propoxy]-2,6-difluorophenyl]-N-((1S)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-11-4P, 5-Chloro-6-[4-[3-[ethyl(methyl)amino]propoxy]-2,6difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5a]pyrimidin-7-amine 849550-12-5P, 5-Chloro-6-[2,6-difluoro-4-[3-(pyrrolidin-1-yl)propoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-13-6P, 5-Chloro-6-[2,6-difluoro-4-[3-(piperidin-1-yl)propoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-14-7P, 5-Chloro-6-[2,6-difluoro-4-[3-(morpholin-4yl)propoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-15-8P, 6-[4-[3-(Azetidin-1-yl)propoxy]-2,6-difluorophenyl]-5-chloro-N-((1S)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-16-9P, 5-Chloro-6-[4-[3-(dimethylamino)propoxy]-2fluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5a]pyrimidin-7-amine 849550-17-0P, 5-Chloro-6-[2,6-difluoro-4-[2-(methylamino)ethoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-18-1P; 5-Chloro-6-[4-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-((1S)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-19-2P, 5-Chloro-6-[4-[2-(dimethylamino)ethoxy]-2,6difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5a]pyrimidin-7-amine 849550-20-5P, 5-Chloro-6-[2,6-difluoro-4-[2-(morpholin-4-yl)ethoxy]phenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-21-6P, 5-Chloro-6-[4-[[3-(dimethylamino)propyl]thio]-2,6-difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-22-7P, 2-[4-[5-Chloro-7-[((1s)-2,2,2-trifluoro-1methylethyl)amino]-[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5difluorophenoxy]ethanol 849550-23-8P, 3-[4-[5-Chloro-7-[((1S)-2,2,2-trifluoro-1-methylethyl)amino]-[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]propan-1-ol 849550-24-9P, 4-[4-[5-Chloro-7-[((1S)-2,2,2-trifluoro-1-methylethyl)amino]-

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[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]butan-1-ol
849550-25-0P, N-[4-[5-Chloro-7-[((1S)-2,2,2-trifluoro-1-
methylethyl)amino]-[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-
difluorophenyl]-N,N',N'-trimethylpropane-1,3-diamine 849550-26-1P
[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N',N'-
dimethylpropane-1,3-diamine 849550-27-2P, N-[4-[5-Chloro-7-
[((1S)-2,2,2-trifluoro-1-methylethyl) amino]-[1,2,4] triazolo[1,5-
a]pyrimidin-6-yl]-3,5-difluorophenyl]-N',N'-dimethylethane-1,2-diamine
849550-28-3P, 5-Bromo-6-[4-[3-(dimethylamino)propoxy]-2,6-
difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a) pyrimidin-7-amine 849550-29-4P, 5-Chloro-6-[4-[4-
(dimethylamino)butyl]-2,6-difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-30-7P
, 5-Chloro-6-[3-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-((1S)-
2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-31-8P, 5-Chloro-6-[3-[3-(dimethylamino)propoxy]-2,6-
difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine 849550-32-9P, 5-Chloro-6-[3-[4-
(dimethylamino)butoxy]-2,6-difluorophenyl]-N-((1S)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-37-4P
, 5-Chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-(2,2,2-
trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-38-5P, 5-Chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-
difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine 849550-39-6P, 6-[4-(3-Aminopropoxy)-2,6-
difluorophenyl]-5-chloro-N-((1R)-2,2,2-trifluoro-1-methylethyl)-
[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-40-9P,
5-Chloro-6-[2,6-difluoro-4-[3-(4-methylpiperazin-1-yl)propoxy]phenyl]-N-
((1R)-2,2,2-\text{trifluoro}-1-\text{methylethyl})-[1,2,4]\,\text{triazolo}\,[1,5-a]\,\text{pyrimidin}-7-1,2,4]\,\text{triazolo}\,[1,5-a]\,\text{pyrimidin}-7-1,2,4]
amine 849550-41-0P, 5-Chloro-6-[4-[3-(ethylamino)propoxy]-2,6-
a]pyrimidin-7-amine 849550-42-1P, 5-Chloro-6-[4-[3-
[ethyl(methyl)amino]propoxy]-2,6-difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-43-2P
, 5-Chloro-6-[2,6-difluoro-4-[3-(pyrrolidin-1-yl)propoxy]phenyl]-N-((1R)-
2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-44-3P, 5-Chloro-6-[2,6-difluoro-4-[3-(piperidin-1-
yl)propoxy]phenyl]-N-((1R)-2,2,2-trifluoro-1-methylethyl)-
[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-45-4P,
5-Chloro-6-[2,6-difluoro-4-[3-(morpholin-4-yl)propoxy]phenyl]-N-((1R)-
2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-46-5P, 6-[4-[3-(Azetidin-1-yl)propoxy]-2,6-difluorophenyl]-
5-chloro-N-((1R)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine 849550-47-6P, 5-Chloro-6-[4-[3-
(dimethylamino)propoxy]-2-fluorophenyl]-N-((1R)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-48-7P
, 5-Chloro-6-[2,6-difluoro-4-[2-(methylamino)ethoxy]phenyl]-N-((1R)-2,2,2-
trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-49-8P, 5-Chloro-6-[4-[4-(dimethylamino)butoxy]-2,6-
difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine 849550-50-1P, 5-Chloro-6-[4-[2-
(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-51-2P
, 5-Chloro-6-[2,6-difluoro-4-[2-(morpholin-4-yl)ethoxy]phenyl]-N-((1R)-
2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-52-3P, 5-Chloro-6-[4-[[3-(dimethylamino)propyl]thio]-2,6-
a]pyrimidin-7-amine 849550-53-4P, 2-[4-[5-Chloro-7-[((1R)-2,2,2-
trifluoro-1-methylethyl)amino]-[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-
difluorophenoxy]ethanol 849550-54-5P, 3-[4-[5-Chloro-7-[((1R)-1)]]
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2,2,2-trifluoro-1-methylethyl)amino]-[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-
3,5-difluorophenoxy]propan-1-ol 849550-55-6P,
4-[4-[5-Chloro-7-[((1R)-2,2,2-trifluoro-1-methylethyl)amino]-
[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]butan-1-ol
849550-56-7P, N-[4-[5-Chloro-7-[((1R)-2,2,2-trifluoro-1-
methylethyl)amino]-[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-
difluorophenyl]-N,N',N'-trimethylpropane-1,3-diamine 849550-57-8P
, N-[4-[5-Chloro-7-[((1R)-2,2,2-trifluoro-1-methylethyl)amino]-
[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N',N'-
dimethylpropane-1,3-diamine 849550-58-9P, N-[4-[5-Chloro-7-
[((1R)-2,2,2-trifluoro-1-methylethyl)amino]-[1,2,4]triazolo[1,5-
a]pyrimidin-6-yl]-3,5-difluorophenyl]-N',N'-dimethylethane-1,2-diamine
849550-59-0P, 5-Bromo-6-[4-[3-(dimethylamino)propoxy]-2,6-
difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine 849550-60-3P, 5-Chloro-6-[4-[4-
(dimethylamino)butyl]-2,6-difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-61-4P
, 5-Chloro-6-[3-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-((1R)-
2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-62-5P, 5-Chloro-6-[3-[3-(dimethylamino)propoxy]-2,6-
difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine 849550-63-6P, 5-Chloro-6-[3-[4-
(dimethylamino)butoxy]-2,6-difluorophenyl]-N-((1R)-2,2,2-trifluoro-1-
methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine 849550-64-7P
, 5-Chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-((1R)-2,2,2-
trifluoro-1-ethylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-70-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of 6-[(substituted)phenyl]triazolopyrimidines
   as tubulin polymerization promoters as anticancer agents for tumors
   expressing multiple drug resistance (MDR) or resistance because of MDR)
849550-06-7 ZCAPLUS
[1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-amine]]
(methylamino)propoxy]phenyl]-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX
NAME)
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RN 849550-07-8 ZCAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-(2,2,2-trifluoroethyl)-(9CI) (CA INDEX NAME)

RN 84.9550-08-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[4-(3-aminopropoxy)-2,6-difluorophenyl]-5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 (CH₂) $\frac{1}{3}$ O F $\frac{Me}{S}$ CF_3

RN 849550-09-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(4-methyl-1-piperazinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me N
$$(CH_2)_3$$
 O F HN S CF_3 N N

RN 849550-10-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(ethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-11-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(ethylmethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} Me & Me \\ \hline \\ Et & (CH_2)_3 \end{array}$$

RN 849550-12-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(1-pyrrolidinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

$$(CH_2)_3$$
 $(CH_2)_3$
 $(CH_2)_3$

RN 849550-13-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(1-piperidinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-14-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(4-morpholinyl)propoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-15-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[4-[3-(1-azetidinyl)propoxy]-2,6-difluorophenyl]-5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-16-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2-fluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-17-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[2-(methylamino)ethoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-18-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-19-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-20-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[2-(4-morpholinyl)ethoxy]phenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-21-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[[3-(dimethylamino)propyl]thio]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

Me₂N
$$(CH2)3$$
 S F HN S $CF3$ N N N N N

RN 849550-22-7 ZCAPLUS

CN Ethanol, 2-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

RN 849550-23-8 ZCAPLUS

CN 1-Propanol, 3-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-24-9 ZCAPLUS

CN 1-Butanol, 4-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-25-0 ZCAPLUS

CN 1,3-Propanediamine, N1-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N1,N3,N3-trimethyl- (CA INDEX NAME)

RN 849550-26-1 ZCAPLUS

CN 1,3-Propanediamine, N3-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N1,N1-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

Me₂N (CH₂)
$$\stackrel{\text{H}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}}{\stackrel{\text{Me}}}}$$

RN 849550-27-2 ZCAPLUS

CN 1,2-Ethanediamine, N'-[4-[5-chloro-7-[[(1S)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-28-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-29-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[4-(dimethylamino)butyl]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-30-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-31-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

RN 849550-32-9 ZCAPLUS
CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-37-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

Me Me NH-CH-CF3
$$F C1 N N N$$

RN 849550-38-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-39-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[4-(3-aminopropoxy)-2,6-difluorophenyl]-5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$H_2N$$
 (CH₂) $\frac{N}{3}$ CF_3

RN 849550-40-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(4-methyl-1-piperazinyl)propoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$_{N}$$
 $_{CH_{2})}$ $_{3}$ $_{F}$ $_{CF_{3}}$ $_{N}$ $_{N}$ $_{N}$

RN 849550-41-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(ethylamino)propoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-42-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(ethylmethylamino)propoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} Me & Me \\ \hline \\ Et & (CH_2)_3 \end{array} \\ \begin{array}{c} O \\ \hline \\ F \\ \hline \\ C1 \end{array} \\ \begin{array}{c} Me \\ R \\ CF_3 \end{array}$$

RN 849550-43-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(1-pyrrolidinyl)propoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-44-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(1-piperidinyl)propoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-45-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(4-morpholinyl)propoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-46-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[4-[3-(1-azetidinyl)propoxy]-2,6-difluorophenyl]-5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-47-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2-fluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-48-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[2-(methylamino)ethoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-49-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-50-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-51-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[2-(4-morpholinyl)ethoxy]phenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-52-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[[3-(dimethylamino)propyl]thio]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-53-4 ZCAPLUS

CN Ethanol, 2-[4-[5-chloro-7-[[(1R)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (9CI) (CA INDEX NAME)

RN

849550-54-5 ZCAPLUS 1-Propanol, 3-[4-[5-chloro-7-[[(1R)-2,2,2-trifluoro-1-CN methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5difluorophenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-55-6 ZCAPLUS

CN 1-Butanol, 4-[4-[5-chloro-7-[[(1R)-2,2,2-trifluoro-1methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5difluorophenoxy] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-56-7 ZCAPLUS

CN 1,3-Propanediamine, N-[4-[5-chloro-7-[[(1R)-2,2,2-trifluoro-1methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5difluorophenyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

RN 849550-57-8 ZCAPLUS

CN 1,3-Propanediamine, N'-[4-[5-chloro-7-[[(1R)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-58-9 ZCAPLUS

CN 1,2-Ethanediamine, N'-[4-[5-chloro-7-[[(1R)-2,2,2-trifluoro-1-methylethyl]amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-59-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-60-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[4-(dimethylamino)butyl]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-61-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[2-(dimethylamino)ethoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-62-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

RN 849550-63-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[3-[4-(dimethylamino)butoxy]-2,6-difluorophenyl]-N-[(1R)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-64-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[3-(methylamino)propoxy]phenyl]-N-[(1R)-1-(trifluoromethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-70-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[3-(dimethylamino)propoxy]-2,6-difluorophenyl]-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

IT 249648-16-6P, 5-Chloro-6-(2,4,6-trifluorophenyl)-N-((1S)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-72-7P, 5-Bromo-6-(2,4,6-trifluorophenyl)-N-((1S)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
849550-78-3P, 5-Chloro-6-(2,3,6-trifluorophenyl)-N-((1S)-2,2,2trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of 6-[(substituted)phenyl]triazolopyrimidines as tubulin polymerization promoters as anticancer agents for tumors expressing multiple drug resistance (MDR) or resistance because of MDR)

RN 249648-16-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 849550-72-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

849550-78-3 ZCAPLUS RN

 $\begin{tabular}{ll} $[1,2,4]$ Triazolo[1,5-a] pyrimidin-7-amine, 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,3,6-trifluorophenyl)- (CA INDEX NAME) \\ \end{tabular}$ CN

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 2005:300260 ZCAPLUS

DOCUMENT NUMBER:

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PATENT ASSIGNEE(S):

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CASREACT 142:373857; MARPAT 142:373857

GΙ

This invention relates to certain 5-arylpyrimidine compds. I [Z =AB NHCHR1R5, cycloalkyl; R = substituted Ph; X = Cl, Br; W1 = NHR6, N(CN)R6, aryl; R1 = H, alkyl; R5 = CF3, C2F5; R6 = alkyl] or a pharmaceutically acceptable salt thereof, and compns. containing said compds. or a pharmaceutically acceptable salt thereof, wherein said compds. are anticancer agents useful for the treatment of cancer in mammals (biol. data given). Over thirty examples describe the synthesis of compds. I. E.g., a multi-step synthesis of I [Z = NHCH2CF3; R = 2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Me], starting from 5,7-dichloro-6-(2,4,6-F3C6H2; X = C1; W1 = N(CN)Metrifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine and 2,2,2trifluoroethylamine, was given. This invention further relates to a method of treating or inhibiting the growth of cancerous tumor cells and associated diseases in a mammal and further provides a method for the treatment or prevention of cancerous tumors that express multiple drug resistance (MDR) or are resistant because of MDR, in a mammal in need thereof which method comprises administering to said mammal an effective amount of the compds. I or a pharmaceutically acceptable salt thereof. More specifically, the present invention relates to a method of treating or inhibiting the growth of cancerous tumor cells and associated diseases in a mammal in need thereof by promotion of microtubule polymerization which comprises

administering to said mammal an effective amount of the compds. I and pharmaceutically acceptable salts thereof.

TΤ 214633-89-3P, 5-Chloro-6-(2,4,6-trifluorophenyl)-N-(2,2,2trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 5-arylpyrimidines as anticancer agents)

RN 214633-89-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

$$\begin{array}{c|c} F & NH-CH_2-CF_3 \\ \hline \\ F & C1 & N & N \end{array}$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 ZCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:31452 ZCAPLUS

DOCUMENT NUMBER: 136:96032

TITLE: Substituted triazolopyrimidines as anticancer agents INVENTOR(S): Schmitt, Mark R.; Kirsch, Donald R.; Harris, Jane E.;

Beyer, Carl F.; Pees, Klaus-Juergen; Carter, Paul;

1

Pfrengle, Waldemar; Albert, Guido

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 405 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.							DATE			APP	LICAT	ION	NO.		DATE				
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OTHER SOURCE(S): MARPAT 136:96032

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AB
     A method is provided for treating or inhibiting the growth of
     cancerous tumor cells and associated diseases in a mammal
     in need thereof which comprises administering to the mammal an effective
     amount of a substituted triazolopyrimidine derivative or a pharmaceutically
     acceptable salt thereof. Also provided is a method for treating or
     inhibiting the growth of cancerous tumor cells and
     associated diseases in a mammal in need thereof by interacting with tubulin
     and microtubules and promoting microtubule polymerization which comprises
     administering to the mammal an effective amount of a substituted
     triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
IT
     150987-15-8 150987-46-5 150987-48-7
     150987-75-0 150988-06-0 150988-18-4
     150988-20-8 150988-28-6 214633-87-1
     214633-88-2 214633-90-6 214633-92-8
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     4-25-0 214634-32-9 214634-42-1
     214634-48-7 214634-49-8 214706-54-4
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     214706-82-8 220482-12-2 244092-09-9
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     388061-56-1 388061-57-2 388061-58-3
     388061-59-4 388061-60-7 388061-61-8
     388061-62-9
     RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (triazolopyrimidine derivs. as anticancer agents)
RN
     150987-15-8 ZCAPLUS
CN
     [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-6-(4-
     methylphenyl) - (9CI) (CA INDEX NAME)
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RN 150987-46-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chlorophenyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)

RN 150987-48-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl- (9CI) (CA INDEX NAME)

RN 150987-75-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-methylethyl)-6-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 150988-06-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-5(1H)-one, 7-(cyclopentylamino)-6-(2-fluorophenyl)-, hydrazone (9CI) (CA INDEX NAME)

RN 150988-18-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)

RN 150988-20-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2-chloro-6-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 150988-28-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N,N-diethyl-6-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 214633-87-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 214633-88-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 214633-90-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

$$F_3C-CH_2-NH$$

RN 214633-92-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 214633-93-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 214633-94-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214633-97-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chlorophenyl)-N-

(2,2,2-trifluoro-1-methylethyl) - (9CI) (CA INDEX NAME)

RN 214634-13-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(2-methylpropyl)-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214634-14-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-methylphenyl)-N-(2-methylpropyl)-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 214634-21-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-methylethyl)-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214634-25-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 214634-32-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-(2-bromo-5-chlorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 214634-42-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4,6-trifluorophenyl)-N-(2,2,2-trifluoro-1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 214634-48-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[2-methyl-1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214634-49-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 214706-54-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-56-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-methylethyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-62-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-64-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-66-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 214706-68-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(2-methylpropyl)-N-2-

propenyl-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

$$F \qquad F \qquad N - CH_2 - CH = CH_2$$

$$F \qquad N \qquad N \qquad N$$

RN 214706-69-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-71-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-72-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methylpropyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-77-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 214706-82-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[1-(4-methylphenyl)ethyl]-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 220482-12-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl- (9CI) (CA INDEX NAME)

RN 244092-09-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 244092-12-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 244092-19-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Et} & \text{CH2} \\ & & & \\ & & \\ \text{MeO} & F & \text{N-CH2-C-Me} \\ & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 244092-24-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 244092-25-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 244092-30-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-[2-(2-ethoxyethoxy]-2,6-difluorophenyl]-N-(2,2,2-trifluoro-1-methylethyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{NH-CH-CF3} \\ \text{F} \\ \text{C1} \\ \text{N} \end{array}$$

RN 244092-31-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[2-(2-methoxyethoxy)ethoxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{MeO-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-CH}_2\text{-O} \\ \\ \text{F} \end{array} \begin{array}{c} \text{NH-CH-CF}_3 \\ \\ \text{NN} \end{array}$$

RN 244092-39-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

$$F_{3}C-CH_{2}-O \qquad F \qquad NH-CH-CF_{3}$$

RN 244092-46-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 292035-69-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 292035-83-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 292035-92-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-methylethyl)-6-(2,3,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 329911-38-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 343252-77-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 388059-99-2 ZCAPLUS

CN Glycine, N-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 388060-00-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)

RN 388060-07-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 388060-08-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 388060-10-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 388060-11-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl- (9CI) (CA INDEX NAME)

RN 388060-12-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)-N-2-propenyl- (9CI) (CA INDEX NAME)

RN 388060-13-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 388060-14-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl- (9CI) (CA INDEX NAME)

RN 388060-28-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-(2-bromophenyl)-5-chloro-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-29-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

MeO
$$N-CH_2-C-Me$$

RN 388060-37-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} & \text{CH2.} \\ & | & \\ N-\text{CH2-C-Me} \\ \\ & N \end{array}$$

RN 388060-40-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

Me
$$N-CH_2-C-Me$$
 $N-CH_2-C-Me$
 $N-CH_2-C-Me$

RN 388060-41-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 388060-42-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl- (9CI) (CA INDEX NAME)

$$Me-(CH2)5-NH$$

RN 388060-44-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 388060-45-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-methylpropyl)-6-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 388060-51-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-dichloro-4-

(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 388060-63-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl-N-2-propenyl- (9CI) (CA INDEX NAME)

RN 388060-66-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 388060-69-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl- (9CI) (CA INDEX NAME)

RN 388060-72-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-nitrophenyl)-N-ethyl-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 388060-74-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)- (9CI) (CA INDEX NAME)

RN 388060-76-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N-butyl-5-chloro-N-ethyl-6-(pentafluorophenyl)- (9CI) (CA INDEX NAME)

RN 388060-78-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-79-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(pentafluorophenyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-80-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-82-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-83-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)-(9CI) (CA INDEX NAME)

RN 388060-84-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-85-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-methyl-N-(1-methylethyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 388060-86-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-cyclopentyl-N-methyl-6-(pentafluorophenyl)- (9CI) (CA INDEX NAME)

RN 388060-87-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(pentafluorophenyl)- (9CI) (CA INDEX NAME)

RN 388060-88-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

RN 388060-93-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 388060-94-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

RN 388060-95-5 ZCAPLUS

CN Phenol, 4-[5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazol o[1,5-a]pyrimidin-6-yl]-2,5-difluoro-(9CI) (CA INDEX NAME)

RN 388060-97-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388060-99-9 ZCAPLUS

CN Hexanoic acid, 6-[4-[5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluorophenoxy]- (9CI) (CA INDEX NAME)

RN 388061-00-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1-methylethyl)-6-[2-[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

RN 388061-01-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 388061-02-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 388061-03-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(3-methyl-3-butenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2 \\ \parallel \\ \text{NH-CH}_2\text{-CH}_2\text{-C-Me} \\ \\ \text{F} \\ \text{Cl} \\ \text{N} \end{array}$$

RN 388061-07-2 ZCAPLUS

CN Phenol, 4-[5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazol o[1,5-a]pyrimidin-6-yl]-3,5-difluoro-(9CI) (CA INDEX NAME)

RN 388061-08-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-(3-furanylmethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{NH-CH-CF}_3 \\ & \text{F} \\ & \text{Cl} \\ & \text{N} \end{array}$$

RN 388061-09-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

RN 388061-11-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

RN 388061-12-9 ZCAPLUS

CN Ethanol, 2-[2-[3-chloro-4-[5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-5-fluorophenoxy]ethoxy]- (9CI) (CA INDEX NAME)

RN 388061-13-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 388061-14-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 388061-16-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)- (9CI) (CA INDEX NAME)

RN 388061-21-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 388061-22-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 388061-23-2 ZCAPLUS

CN 1,4-Dioxa-8-azaspiro[4.5]decan-8-amine, N-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 388061-27-6 ZCAPLUS

CN 1,4-Dioxa-8-azaspiro[4.5]decan-8-amine, N-[5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 388061-32-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(3,4-difluorophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 388061-33-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-6-(4-bromophenyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 388061-34-5 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-bromo-N,N-dimethyl-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 388061-35-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(3,4-difluorophenyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 388061-36-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 388061-37-8 ZCAPLUS

CN Glycine, N-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 388061-39-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-3-butenyl)- (9CI) (CA INDEX NAME)

RN 388061-41-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N,N-diethyl-6-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 388061-43-6 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 388061-47-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(4-methyl-3-nitrophenyl)-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

RN 388061-52-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 388061-54-9 ZCAPLUS

CN 1,5-Pentanediamine, N'-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N,N-diethyl-(9CI) (CA INDEX NAME)

RN 388061-55-0 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(3-methyl-3-butenyl)-6-phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CH2} \\ \text{Me-C-CH2-CH2-NH} \\ \text{Ph} \\ \text{C1} \end{array}$$

RN 388061-56-1 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidine-5,7-diamine, N7-cyclopentyl-N5,N5-dimethyl-6-phenyl- (9CI) (CA INDEX NAME)

RN 388061-57-2 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 388061-58-3 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-ethyl-6-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 388061-59-4 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[4-(1,1-dimethylethyl)phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 388061-60-7 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-[(3-methyl-3-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CH_2 & Me \\ Me-C-CH_2-CH_2-O & F & NH-CH-CF_3 \\ \hline \\ F & C1 & N \end{array}$$

RN 388061-61-8 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 388061-62-9 ZCAPLUS

CN [1,2,4]Triazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-tricyclo[2.2.1.02,6]hept-1-yl-6-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX

NAME)

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